

=> s us20030069249/pn
L1 1 US20030069249/PN

=> d bib abs

L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:832612 CAPLUS
DN 137:337889
TI Preparation of piperidiny1-substituted benzoxazolones, indolones,
benzimidazolones, and benzimidazolimines with nociceptin affinity for
treatment of pain
IN Sun, Qun; Goehring, R. Richard; Kyle, Donald; Chen, Zhengming; Victory,
Sam; Whitehead, John
PA Euro-Celtique, S.A., Luxembourg
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085357	A1	20021031	WO 2002-US12351	20020418
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				
	CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,				
	BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2444198	A1	20021031	CA 2002-2444198	20020418
	CA 2444198	C	20100622		
	AU 2002307416	A1	20021105	AU 2002-307416	20020418
	AU 2002307416	B2	20050811		
	US 20030069249	A1	20030410	US 2002-126471	20020418 <--
	US 6867222	B2	20050315		
	EP 1379246	A1	20040114	EP 2002-764236	20020418
	EP 1379246	B1	20081008		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU 2004001109	A2	20040928	HU 2004-1109	20020418
	HU 2004001109	A3	20071228		
	CN 1561211	A	20050105	CN 2002-812169	20020418
	CN 100352816	C	20071205		
	JP 2005518330	T	20050623	JP 2002-582930	20020418
	JP 4364513	B2	20091118		
	NZ 528977	A	20051028	NZ 2002-528977	20020418
	EP 1598338	A1	20051123	EP 2005-14115	20020418
	EP 1598338	B1	20090218		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	EP 1598339	A1	20051123	EP 2005-14116	20020418
	EP 1598339	B1	20090624		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	EP 1598340	A1	20051123	EP 2005-14117	20020418
	EP 1598340	B1	20090304		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

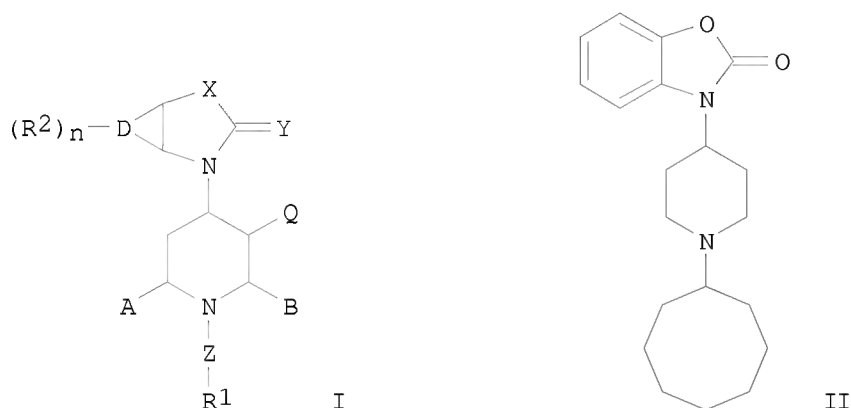
RU 2265018	C2	20051127	RU 2003-133452	20020418
BR 2002009129	A	20051220	BR 2002-9129	20020418
NZ 540234	A	20070126	NZ 2002-540234	20020418
NZ 540235	A	20070126	NZ 2002-540235	20020418
NZ 540233	A	20070223	NZ 2002-540233	20020418
NZ 551453	A	20080229	NZ 2002-551453	20020418
EP 1918279	A2	20080507	EP 2008-150838	20020418
EP 1918279	A3	20080716		
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,				
NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
EP 1930322	A1	20080611	EP 2008-150837	20020418
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,				
NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
AT 410165	T	20081015	AT 2002-764236	20020418
PT 1379246	E	20090114	PT 2002-764236	20020418
AT 423098	T	20090315	AT 2005-14115	20020418
AT 424385	T	20090315	AT 2005-14117	20020418
ES 2315394	T3	20090401	ES 2002-764236	20020418
PT 1598340	E	20090413	PT 2005-14117	20020418
EP 2050450	A1	20090422	EP 2008-172606	20020418
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC,				
NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
PT 1598338	E	20090508	PT 2005-14115	20020418
ES 2322158	T3	20090617	ES 2005-14115	20020418
AT 434607	T	20090715	AT 2005-14116	20020418
ES 2323876	T3	20090727	ES 2005-14117	20020418
PT 1598339	E	20090903	PT 2005-14116	20020418
ES 2329252	T3	20091124	ES 2005-14116	20020418
NO 2003004661	A	20031217	NO 2003-4661	20031017
ZA 2003008102	A	20041018	ZA 2003-8102	20031017
KR 847296	B1	20080723	KR 2003-713822	20031018
MX 2003009600	A	20040402	MX 2003-9600	20031020
IN 2003DN01709	A	20090403	IN 2003-DN1709	20031020
HK 1063149	A1	20090529	HK 2004-105109	20040713
HK 1088892	A1	20090710	HK 2006-105934	20040713
HK 1086000	A1	20090807	HK 2006-104415	20040713
HK 1088891	A1	20091002	HK 2006-105728	20040713
US 20050159452	A1	20050721	US 2005-32955	20050111
US 7495109	B2	20090224		
AU 2005227380	A1	20051117	AU 2005-227380	20051027
KR 2006127421	A	20061212	KR 2006-724967	20061128
KR 878774	B1	20090114		
IN 2007DN04136	A	20070831	IN 2007-DN4136	20070531
IN 2007DN04137	A	20070831	IN 2007-DN4137	20070531
IN 2007DN04138	A	20070831	IN 2007-DN4138	20070531
AU 2007237190	A1	20071213	AU 2007-237190	20071127
AU 2007237193	A1	20071213	AU 2007-237193	20071127
US 20090156640	A1	20090618	US 2009-390683	20090223
JP 2009263378	A	20091112	JP 2009-150368	20090624
JP 2009263379	A	20091112	JP 2009-150369	20090624
JP 2009263380	A	20091112	JP 2009-150370	20090624
PRAI US 2001-284666P	P	20010418		
US 2001-284667P	P	20010418		
US 2001-284668P	P	20010418		
US 2001-284669P	P	20010418		
AU 2002-307416	A3	20020418		
EP 2002-764236	A3	20020418		
EP 2005-14115	A3	20020418		
EP 2005-14117	A3	20020418		
EP 2008-150838	A3	20020418		
JP 2002-582930	A3	20020418		

NZ 2002-528977	A1	20020418
NZ 2002-540233	A3	20020418
US 2002-126471	A3	20020418
WO 2002-US12351	W	20020418
KR 2003-713822	A3	20031018
IN 2003-DN1709	A3	20031020
HK 2004-105109	A3	20040713
US 2005-32955	A3	20050111
AU 2005-227380	A3	20051027

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 137:337889

GI



AB Title compds. I [wherein D = cycloalkyl, heterocyclyl, or (hetero)aryl; n = 0-3; A, B, and Q = independently H, (cyclo)alkyl, (cycloalkoxy), CH₂OH, NHSO₂, hydroxyalkyl, aminocarbonyl, (di)alkylaminocarbonyl, acylamino(alkyl), amido, or sulfonylaminoalkyl; or AB, BQ, or AQ = C₂-6 bridge; X = C, N, or O; Y = O; or when X = N, Y = NCN; Z = a bond or (un)substituted alkylene, NH, CH₂O, CH₂NH, CH₂N(Me), NHCH₂, CH₂CONH, NHCH₂CO, CH₂CO, COCH₂, CH₂COCH₂, CH(Me), CH:, O, or CH:CH; R₁ = H, (cyclo)alkyl, (cyclo)alkenyl, NH₂, (cyclo)alkylamino, carboxy(alkyl), CN, cyano(cyclo)alkyl, NH₂SO₂(alkyl), NH₂CO, (di)alkylaminocarbonyl, PhCH₂, mono-, bi- or tricyclic (hetero)aryl, hetero bicyclic ring, or spiro ring; or pharmaceutically acceptable salts or solvates thereof] were prepared as opioid receptor-like receptor 1 (ORL1) agonists and antagonists for treatment of pain. For example, 2-aminophenol was condensed with 1-(tert-butoxycarbonyl)-4-piperidinone using Na(AcO)₃BH and DCE in AcOH to give the disubstituted amine (94%). Cyclization with triphosgene in the presence of DIEA in THF gave the protected piperidinyl 2-benzoxazolone (78%). Deprotection (66%) followed by alkylation afforded 3-[1-(cyclooctyl)-4-piperidinyl]-2H-benzoxazol-2-one (II), which exhibited nociceptin affinity at the ORL1 receptor with K_i of 16 nM.

OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
 RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> analyze l1

ANALYZE IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.

For a list of commands available to you in the current file, enter

"HELP COMMANDS" at an arrow prompt (=>).

```
=> analyze l1
ENTER ANSWER NUMBER OR RANGE (1-):1
ENTER DISPLAY CODE (TI) OR ?:rn
L2          ANALYZE L1 1 RN :      158 TERMS
```

```
=> fil reg
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                               ENTRY      SESSION
FULL ESTIMATED COST          20.91      21.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  SINCE FILE      TOTAL
                                               ENTRY      SESSION
CA SUBSCRIBER PRICE          -0.85      -0.85
```

FILE 'REGISTRY' ENTERED AT 10:24:53 ON 03 SEP 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

```
STRUCTURE FILE UPDATES:      2 SEP 2010  HIGHEST RN 1239943-81-7
DICTIONARY FILE UPDATES:      2 SEP 2010  HIGHEST RN 1239943-81-7
```

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

```
=> s l2
L3          158 L2
```

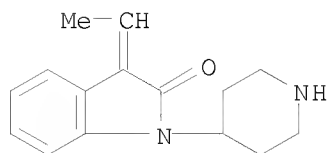
```
=> s l3 and piperidin?
      2638750 PIPERIDIN?
L4          107 L3 AND PIPERIDIN?
```

```
=> s l4 and benzimida?
      715205 BENZIMIDA?
L5          26 L4 AND BENZIMIDA?
```

```
=> s l4 not l5
L6          81 L4 NOT L5
```

```
=> d scan
```

```
L6      81 ANSWERS  REGISTRY  COPYRIGHT 2010 ACS on STN
IN      2H-Indol-2-one, 3-ethylidene-1,3-dihydro-1-(4-piperidinyl)-
MF      C15 H18 N2 O
```



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 16 and indol?

1528119 INDOL?

L7 52 L6 AND INDOL?

=> s 16 not 17

L8 29 L6 NOT L7

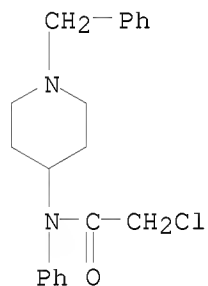
=> d scan

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetamide, 2-chloro-N-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]-

MF C20 H23 Cl N2 O

CI COM



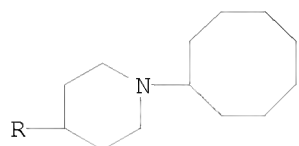
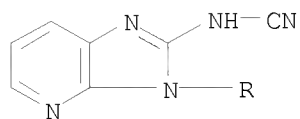
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):28

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

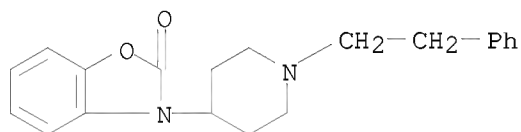
IN Cyanamide, [3-(1-cyclooctyl-4-piperidinyl)-3H-imidazo[4,5-b]pyridin-2-yl]- (9CI)

MF C20 H28 N6



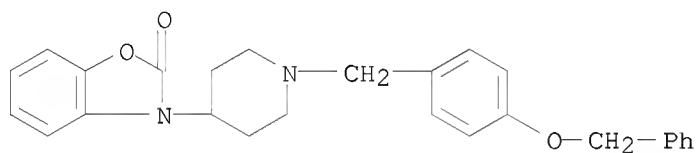
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(2-phenylethyl)-4-piperidinyl]-
 MF C20 H22 N2 O2



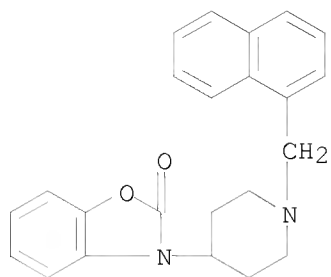
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-[[4-(phenylmethoxy)phenyl]methyl]-4-
 piperidinyl]-
 MF C26 H26 N2 O3



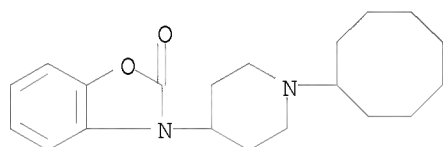
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(1-naphthalenylmethyl)-4-piperidinyl]-
 MF C23 H22 N2 O2



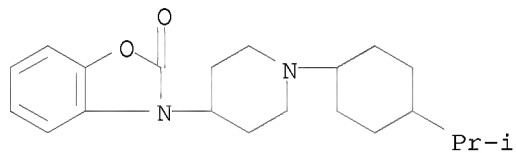
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-(1-cyclooctyl-4-piperidinyl)-
 MF C20 H28 N2 O2



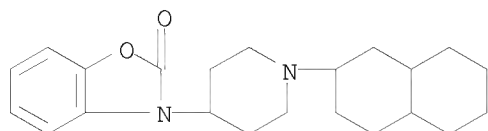
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-[4-(1-methylethyl)cyclohexyl]-4-
 piperidinyl]-
 MF C21 H30 N2 O2



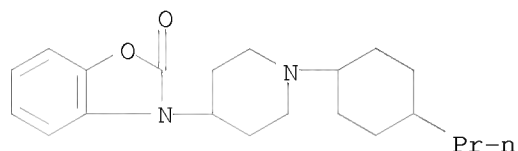
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(decahydro-2-naphthalenyl)-4-piperidinyl]-
 MF C22 H30 N2 O2



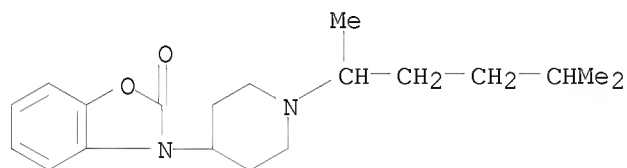
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2(3H)-Benzoxazolone, 3-[1-(4-propylcyclohexyl)-4-piperidinyl]-
MF C21 H30 N2 O2



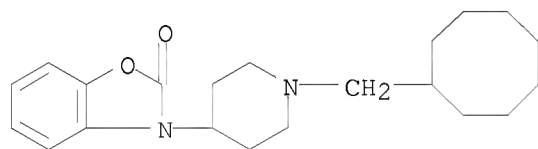
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2(3H)-Benzoxazolone, 3-[1-(1,4-dimethylpentyl)-4-piperidinyl]-
MF C19 H28 N2 O2



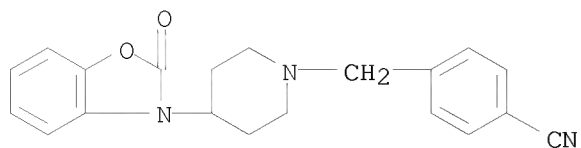
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2(3H)-Benzoxazolone, 3-[1-(cyclooctylmethyl)-4-piperidinyl]-
MF C21 H30 N2 O2



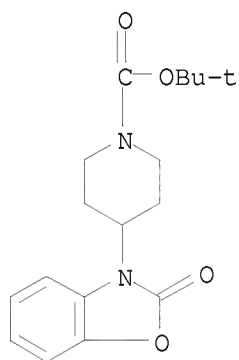
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN Benzonitrile, 4-[4-(2-oxo-3(2H)-benzoxazolyl)-1-piperidinyl]methyl]-
MF C20 H19 N3 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

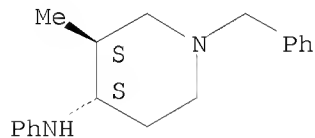
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 1-Piperidinecarboxylic acid, 4-(2-oxo-3(2H)-benzoxazolyl)-,
 1,1-dimethylethyl ester
 MF C17 H22 N2 O4



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

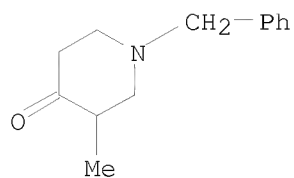
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 4-Piperidinamine, 3-methyl-N-phenyl-1-(phenylmethyl)-,
 (3R,4R)-rel-
 MF C19 H24 N2
 CI COM

Relative stereochemistry.



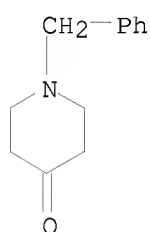
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 4-Piperidinone, 3-methyl-1-(phenylmethyl)-
 MF C13 H17 N O
 CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

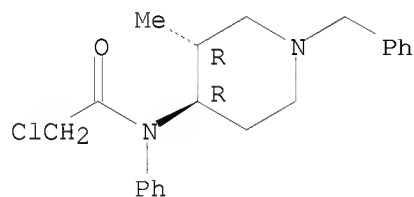
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 4-Piperidinone, 1-(phenylmethyl)-
 MF C12 H15 N O
 CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

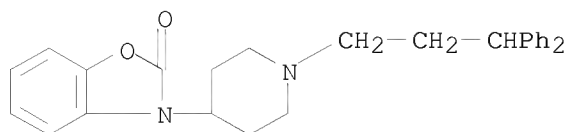
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN Acetamide, 2-chloro-N-[(3R,4R)-3-methyl-1-(phenylmethyl)-4-piperidinyl]-N-phenyl-, rel-
 MF C21 H25 Cl N2 O

Relative stereochemistry.



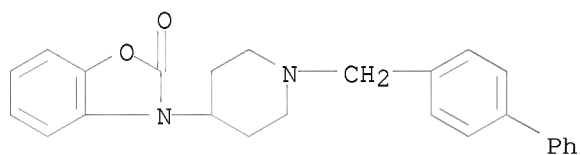
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(3,3-diphenylpropyl)-4-piperidinyl]-
 MF C27 H28 N2 O2



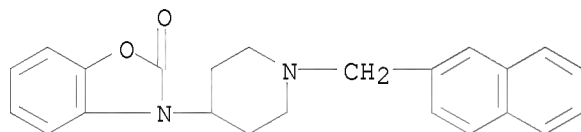
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-([1,1'-biphenyl]-4-ylmethyl)-4-piperidinyl]-
 MF C25 H24 N2 O2



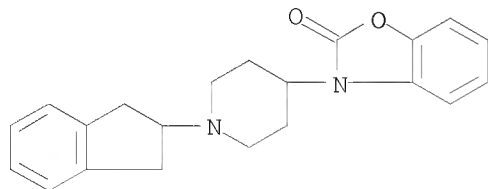
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(2-naphthalenylmethyl)-4-piperidinyl]-
 MF C23 H22 N2 O2



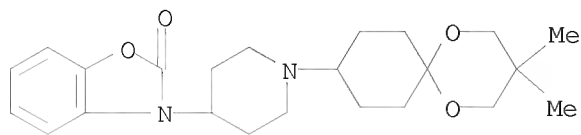
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]-
 MF C21 H22 N2 O2



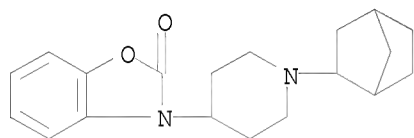
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(3,3-dimethyl-1,5-dioxaspiro[5.5]undec-9-yl)-4-piperidinyl]-
 MF C23 H32 N2 O4



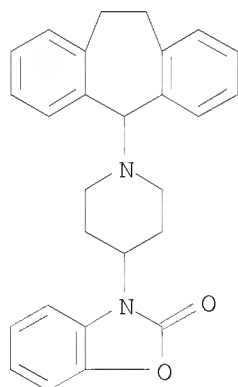
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-(1-bicyclo[2.2.1]hept-2-yl-4-piperidinyl)-
 MF C19 H24 N2 O2



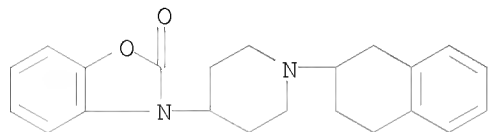
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-4-piperidinyl]-
 MF C27 H26 N2 O2



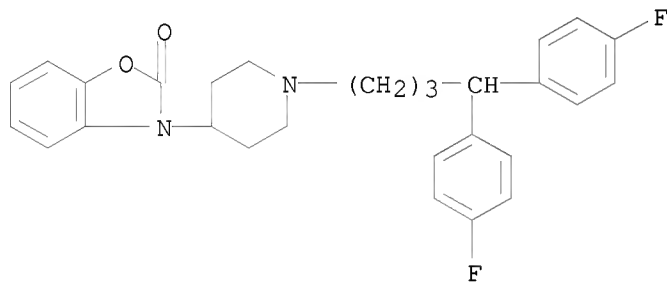
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(1,2,3,4-tetrahydro-2-naphthalenyl)-4-piperidinyl]-
 MF C22 H24 N2 O2



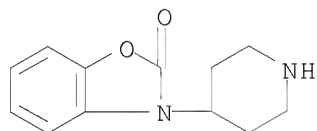
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl]-
 MF C28 H28 F2 N2 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

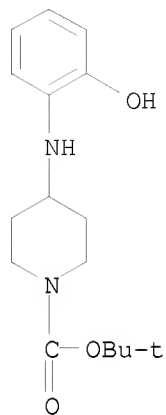
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-(4-piperidinyl)-
 MF C12 H14 N2 O2
 CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

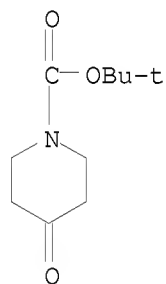
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN 1-Piperidinecarboxylic acid, 4-[(2-hydroxyphenyl)amino]-,
1,1-dimethylethyl ester
MF C16 H24 N2 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 1-Piperidinecarboxylic acid, 4-oxo-, 1,1-dimethylethyl ester
MF C10 H17 N O3
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED